# Product Data Sheet

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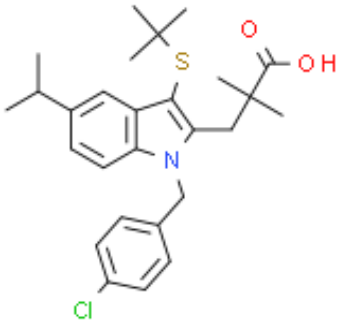
| Cas No.: | 118414-82-7 | Cat. No: | PC11580 |
| Product Name: | MK 886 | | |
| Product synonym: | 3-[1-(4-氯苄基)-3-叔丁基硫代-5-异丙基吲哚-2-基]-2,2-二甲基丙酸;1-[(4-氯苯基)甲基]-3-叔丁基硫基-alpha,alpha-二甲基-5-异丙基-1H-吲哚-2-丙酸;MK-886 | | |
| Chemical name: | MK 886 | | |
| MF: | C27H34CLNO2S | FW: | 472.0824 |
| Purity: | ≥98% | Batch No.: | - |
| Storage: | | | |
| Structural formula: |  | | |
| λmax： | - | Formulation： | - |
| Solubility : | | | |
| SMILES : | ClC1C([H])=C([H])C(=C([H])C=1[H])C([H])([H])N1C2C([H])=C([H])C(C([H])(C([H])([H])[H])C([H])([H])[H])=C([H])C=2C(=C1C([H])([H])C(C(=O)O[H])(C([H])([H])[H])C([H])([H])[H])SC(C([H])([H])[H])(C([H])([H])[H])C([H])([H])[H] | | |
| InChI Code: | - | | |
| InChI Key: | | | |
| **WARNING This product is not for human or veterinary use.** | | | |

## Product Description

FLAP和PPARα抑制剂，抑制白三烯生物合成，MK886是<5>脂氧合酶活化蛋白抑制剂和白三烯生物合成抑制剂 (IC50=2.5 nM)。

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| 生物活性 | MK-886 (L 663536) is a potent, cell-permeable and orally active **FLAP** (**$IC_{50}$** of 30 nM) and **leukotriene biosynthesis** (**$IC_{50}$**s of 3 nM and 1.1 μM in intact leukocytes and human whole blood, respectively) inhibitor. MK-886 is also a non-competitive **PPARα** antagonist and can induce **apoptosis**. |
| IC50 & Target[1][2] | IC50: 30 nM (FLAP)<br>IC50: 3 nM (Leukotriene biosynthesis in intact leukocytes) and 1.1 μM (Leukotriene biosynthesis in human whole blood)<br>PPARα |

体外研究(In Vitro)

MK-886 (0.5-2 μM; 15?hours; primary keratinocytes) treatment reduces keratin-1 expression in a culture of mouse primary keratinocytes.

Using a transient transfection system in monkey kidney fibroblast CV-1 cells, mouse keratinocyte 308 cells and human lung adenocarcinoma A549 cells, 10 μM MK-886 is able to inhibit Wy-14643 activation of PPARα by ~80%. MK-886 also decreases PPARα activation by fatty acids in the stable transfection system.

Although Jurkat cells express all PPAR isoforms, various PPARα and PPARγ agonists are unable to prevent MK-886-induced apoptosis.

**Medlife has not independently confirmed the accuracy of these methods. They are for reference only.**

Western Blot Analysis

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| Cell Line: | Primary keratinocytes |
| Concentration: | 0.5 μM, 1 μM or 2 μM |
| Incubation Time: | 15?hours |
| Result: | Decreased in keratin-1 expression. |

体内研究(In Vivo)

MK-886 (L 663536; 5 mg/kg; oral administration; male Sprague-Dawley rats) treatment potently inhibits the antigen-induced dyspnea in inbred rats pretreated with methysergide.

MK-886 (L 663536) inhibits leukotriene biosynthesis in vivo in a rat pleurisy model ($ED_{50}$, 0.2 mg/kg p.o.), an inflamed rat paw model ($ED_{50}$, 0.8 mg/kg), a model of leukotriene excretion in rat bile following antigen provocation.

**Medlife has not independently confirmed the accuracy of these methods. They are for reference only.**

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| Animal Model: | Male Sprague-Dawley rats (300-400 g) with antigen-induced dyspnea |
| Dosage: | 5 mg/kg |
| Administration: | Oral administration |
| Result: | Inhibited the antigen-induced dyspnea. |

包装储存

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| Powder | **-20°C** | 3 years |
| | **4°C** | 2 years |
| In solvent | **-80°C** | 6 months |
| | **-20°C** | 1 month |

*体外研究:*

**DMSO : 75 mg/mL (158.87 mM;** Need ultrasonic)

| 配制储备溶液 | 溶剂体积 / 质量 / 浓度 | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1183 mL | 10.5914 mL | 21.1828 mL |
| | 5 mM | 0.4237 mL | 2.1183 mL | 4.2366 mL |
| | 10 mM | 0.2118 mL | 1.0591 mL | 2.1183 mL |

* 产品不同，其溶解度不同。建议根据产品选择合适的溶剂配制储备溶液；配成溶液后，**建议分装保存，避免反复冻融造成的产品失效**。

**储备液的保存方式和期限：** -80°C, 6 months; -20°C, 1 month。-80°C 储存时，建议在 6 个月内使用，-20°C 储存时，

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| 溶解度数据 | 建议在 1 个月内使用。<br><br>*体内研究:*<br><br>建议根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都建议先按照 **体外研究** 方式配制澄清的储备液，再依次添加助溶剂：<br><br>——为保证实验结果的可靠性，**澄清的储备液可以根据储存条件，适当保存**；体内实验的工作液，**建议您现用现配，当天使用**； 以下溶剂前显示的百分比是指**该溶剂在您配制终溶液中的体积占比**；如在配制过程中出现沉淀、析出现象，可以通过**加热和/或超声的方式助溶**<br><br>1. 建议依照次序添加每种溶剂： 0.5% CMC-Na/saline water<br>Solubility: 10 mg/mL (21.18 mM); Suspended solution; Need ultrasonic<br><br>2. 建议依照次序添加每种溶剂： 10% DMSO 90% (20% SBE-β-CD in saline)<br>Solubility: 2.5 mg/mL (5.30 mM); Suspended solution; Need ultrasonic<br><br>此方案可获得 2.5 mg/mL (5.30 mM) 的均匀悬浊液，悬浊液可用于口服和腹腔注射。<br><br>以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。<br><br>将 2 g 磺丁基醚 β-环糊精加入 5 mL 生理盐水中，再用生理盐水定容至 10 mL，完全溶解，澄清透明<br><br>3. 建议依照次序添加每种溶剂： 10% DMSO 40% PEG300 5% Tween-80 45% saline<br>Solubility: 2.08 mg/mL (4.41 mM); Clear solution; Need ultrasonic<br><br>此方案可获得 2.08 mg/mL (4.41 mM) 的澄清溶液。<br><br>以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入50 μL Tween-80，混合均匀；然后继续加入 450 μL生理盐水定容至 1 mL。<br><br>将 0.9 g 氯化钠，完全溶解于 100 mL ddH?O 中，得到澄清透明的生理盐水溶液<br><br>4. 建议依照次序添加每种溶剂： 10% DMSO 90% corn oil<br>Solubility: ≥ 2.08 mg/mL (4.41 mM); Clear solution<br><br>此方案可获得 ≥ 2.08 mg/mL (4.41 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。<br><br>以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL玉米油中，混合均匀。<br><br>* |